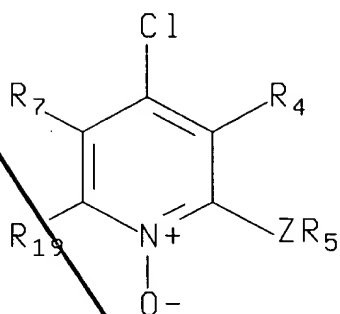
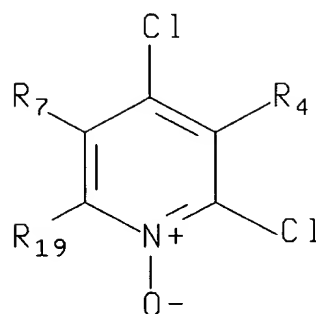


CLAIMS

1. A compound of the formula

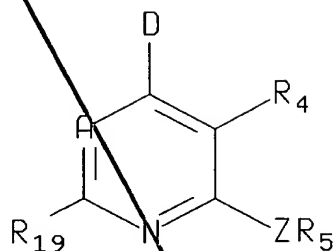


X



XI

or



IV

wherein R₇ is hydrogen, methyl, fluoro, chloro, bromo, iodo, cyano, hydroxy, -O(C₁-C₄ alkyl), -C(O)(C₁-C₄ alkyl), -C(O)O(C₁-C₄ alkyl), -OCF₃, CF₃, -CH₂OH, -CH₂OCH₃ or -CH₂OCH₂CH₃;

D is chloro, hydroxy or cyano;

R₁₉ is methyl or ethyl;

R₅ is phenyl or pyridyl and R₅ is substituted by two or three substituents independently selected from C₁-C₄ alkyl, chloro and bromo, except that no more than one such substituent can be bromo;

R₄ is hydrogen, C₁-C₄ alkyl, fluoro, chloro, bromo, iodo, C₁-C₄ alkoxy, trifluoromethoxy, -CH₂OCH₃, -CH₂OCH₂CH₃, -CH₂CH₂OCH₃, -CH₂OF₃, CF₃, amino, nitro, -NH(C₁-C₄ alkyl), -N(CH₃)₂, -NHCOCH₃, -NHCONHCH₃, -SO_n(C₁-C₄ alkyl) wherein n is 0, 1 or 2, cyano, hydroxy,

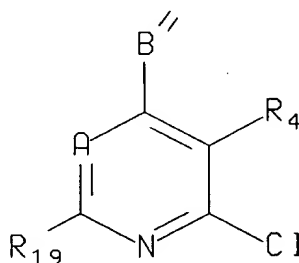
-CO(C₁-C₄ alkyl), -CHO, cyano or -COO(C₁-C₄ alkyl) wherein said C₁-C₄ alkyl may optionally contain one double or triple bond and may optionally be substituted with one substituent selected from hydroxy, amino, -NHCOCH₃, -NH(C₁-C₂ alkyl), -N(C₁-C₂ alkyl)₂, -COO(C₁-C₄ alkyl), -CO(C₁-C₄ alkyl), C₁-C₃ alkoxy, C₁-C₃ thioalkyl, fluoro, chloro, cyano and nitro;

A is N, CH or CH₃;

and Z is O, NH, N(CH₃), S or CH₂ with the proviso that when A is CH or CCH₃, then Z must be O or S.

2. A compound according to claim 1 having the formula XI wherein R₇ is hydrogen or methyl and R₄ is hydrogen, C₁-C₄ alkyl, -O(C₁-C₄ alkyl), chloro or cyano.

10 3. A compound of the formula



XII

wherein R₁₉ is methyl or ethyl;

R₄ is hydrogen, C₁-C₄ alkyl, fluoro, chloro, bromo, iodo, C₁-C₄ alkoxy, trifluoromethoxy, -CH₂OCH₃, -CH₂OCH₂CH₃, -CH₂CH₂OCH₃, -CH₂OF₃, CF₃, amino, nitro, -NH(C₁-C₄ alkyl), -N(CH₃)₂, -NHCOCH₃, -NHCONHCH₃, -SO_n(C₁-C₄ alkyl) wherein n is 0, 1 or 2, cyano, hydroxy, -CO(C₁-C₄ alkyl), -CHO, cyano or -COO(C₁-C₄ alkyl) wherein said C₁-C₄ alkyl may optionally contain one double or triple bond and may optionally be substituted with one substituent selected from hydroxy, amino, -NHCOCH₃, -NH(C₁-C₂ alkyl), -N(C₁-C₂ alkyl)₂, -COO(C₁-C₄ alkyl), -CO(C₁-C₄ alkyl), C₁-C₃ alkoxy, C₁-C₃ thioalkyl, fluoro, chloro, cyano and nitro;

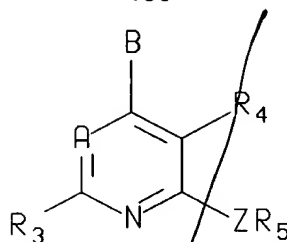
A is N, CH or CCH₃;

B'' is -NR₁R₂, -CR₁R₂R₁₁, -C(=CR₂R₁₂)R₁, -NHCHR₁R₂, -OCHR₁R₂, -SCHR₁R₂, -CHR₂OR₁₂, -CHR₂SR₁₂, -C(S)R₂ or -C(O)R₂;

with the proviso that when A is CH or CCH₃, then B'' is -NR₁R₂, -NHR₁R₂, -OCHR₁R₂ or cyano and R₄ is an electron deficient group such as NO₂, -COO(C₁-C₄ alkyl), -C(=O)CH₃, -COOH or cyano.

4. A compound according to claim 3, wherein B'' is -NR₁R₂ or -NHCHR₁R₂ and A is CH or CH₃.

5. A process for preparing a compound of the formula



or a pharmaceutically acceptable salt thereof, wherein

A is $-CR_7$ or N;

B is $-NR_1R_2$, $-CR_1R_2R_{11}$, $-C(=CR_2R_{12})R_1$, $-NHCHR_1R_2$, $-OCHR_1R_2$, $-SCHR_1R_2$,
 5 $-CHR_2OR_{12}$, $-CHR_2SR_{12}$, $-C(S)R_2$ or $-C(O)R_2$;

Z is NH, O, S, $-N(C_1-C_2 \text{ alkyl})$ or $-C(R_{13}R_{14})$, wherein R_{13} and R_{14} are each, independently, hydrogen, trifluoromethyl or methyl, or one of R_{13} and R_{14} is cyano and the other is hydrogen or methyl;

R_1 is C_1-C_6 alkyl which may optionally be substituted with one or two substituents R_8
 10 independently selected from the group consisting of hydroxy, fluoro, chloro, bromo, iodo, CF_3 and C_1-C_4 alkoxy, and wherein said C_1-C_6 alkyl and the C_1-C_4 alkyl moiety of said C_1-C_4 alkoxy may optionally contain one carbon-carbon double or triple bond;

R_2 is C_1-C_{12} alkyl, aryl or $-(C_1-C_4 \text{ alkylene})\text{aryl}$ wherein said aryl is phenyl, naphthyl, thienyl, benzothienyl, pyridyl, quinolyl, pyrazinyl, pyrimidyl, imidazolyl, furanyl, benzofuranyl,
 15 benzothiazolyl, isothiazolyl, benzisothiazolyl, benzisoxazolyl, benzimidazolyl, indolyl, or benzoxazolyl; 3- to 8-membered cycloalkyl or $-(C_1-C_6 \text{ alkylene})\text{cycloalkyl}$, wherein one or two of the ring carbons of said cycloalkyl having at least 4 ring members and the cycloalkyl moiety of said $-(C_1-C_6 \text{ alkylene})\text{cycloalkyl}$ having at least 4 ring members may optionally be replaced by an oxygen or sulfur atom or by $N-R_9$ wherein R_9 is hydrogen or C_1-C_4 alkyl; and wherein each of the
 20 foregoing R_2 groups may optionally be substituted with from one to three substituents independently selected from chloro, fluoro and C_1-C_4 alkyl, or with one substituent selected from bromo, iodo, C_1-C_6 alkoxy, $-O-CO-(C_1-C_6 \text{ alkyl})$, $-O-CO-N(C_1-C_4 \text{ alkyl})(C_1-C_2 \text{ alkyl})$, $-S(C_1-C_6 \text{ alkyl})$, CN, NO_2 , $-SO(C_1-C_4 \text{ alkyl})$, and $-SO_2(C_1-C_4 \text{ alkyl})$, and wherein said C_1-C_{12} alkyl and the C_1-C_4 alkylene moiety of said $-(C_1-C_4 \text{ alkylene})\text{aryl}$ may optionally contain one carbon-carbon
 25 double or triple bond;

or $-NR_1R_2$ may form a saturated 5- to 8-membered carbocyclic ring which may optionally contain one or two carbon-carbon double bonds and in which one or two of the ring carbons may optionally be replaced by an oxygen or sulfur atom;

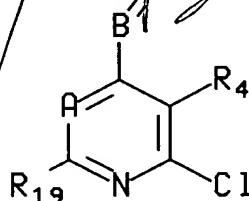
R_3 is methyl, ethyl, fluoro, chloro, bromo, iodo, cyano, methoxy, OCF_3 , methylthio,
 30 methylsulfonyl, CH_2OH , or CH_2OCH_3 ;

R₄ is hydrogen, C₁-C₄ alkyl, fluoro, chloro, bromo, iodo, C₁-C₄ alkoxy, trifluoromethoxy, -CH₂OCH₃, -CH₂OCH₂CH₃, -CH₂CH₂OCH₃, -CH₂OF₃, CF₃, amino, nitro, -NH(C₁-C₄ alkyl), -N(CH₃)₂, -NHCOCH₃, -NHCONHCH₃, -SO_n(C₁-C₄ alkyl) wherein n is 0, 1 or 2, cyano, hydroxy, -CO(C₁-C₄ alkyl), -CHO, cyano or -COO(C₁-C₄ alkyl) wherein said C₁-C₄ alkyl may optionally contain one double or triple bond and may optionally be substituted with one substituent selected from hydroxy, amino, -NHCOCH₃, -NH(C₁-C₂ alkyl), -N(C₁-C₂ alkyl)₂, -COO(C₁-C₄ alkyl), -CO(C₁-C₄ alkyl), C₁-C₃ alkoxy, C₁-C₃ thioalkyl, fluoro, chloro, cyano and nitro;

R₅ is phenyl or pyridyl, and R₅ is substituted with from one to three substituents independently selected from fluoro, chloro, C₁-C₆ alkyl, and C₁-C₆ alkoxy, or with one substituent selected from hydroxy, iodo, bromo, formyl, cyano, nitro, trifluoromethyl, amino, -(C₁-C₆ alkyl)O(C₁-C₆ alkyl), -NHCH₃, -N(CH₃)₂, -COOH, -COO(C₁-C₄ alkyl), -CO(C₁-C₄ alkyl), -SO₂NH(C₁-C₄ alkyl), -SO₂N(C₁-C₄ alkyl)(C₁-C₂ alkyl), -SO₂NH₂, -NHSO₂(C₁-C₄ alkyl), -S(C₁-C₆ alkyl) and -SO₂(C₁-C₆ alkyl), and wherein the C₁-C₄ alkyl and C₁-C₆ alkyl moieties of the foregoing R₅ groups may optionally be substituted with one or two fluoro groups or with one substituent selected from hydroxy, amino, methylamino, dimethylamino and acetyl; and

R₇ is hydrogen or methyl;

with the proviso that when A is CH or CCH₃, then R₄ is an electron deficient group such as NO₂, -COO(C₁-C₄ alkyl), -C(=O)CH₃, -COOH or CN; or a pharmaceutically acceptable salt of such compound; comprising reacting a compound of the formula



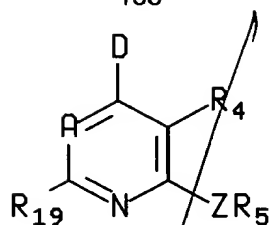
XII

wherein R₁₉ is methyl or ethyl and A is N, CH or CCH₃; and wherein when A is N, then B'' and R₄ are defined, respectively, as B and R₄ are defined as above, and when A is CH or CH₃, then B'' is -NR₁R₂, -NHR₁R₂, -OCHR₁R₂ or cyano and R₄ is an electron deficient group such as NO₂, -COO(C₁-C₄ alkyl), -C(=O)CH₃, -COOH or CN;

with a compound of the formula R₅ZH, wherein R₅ and Z are defined as above, and then optionally converting the compound of formula I formed in such reaction into a pharmaceutically acceptable salt.

6. A process according to claim 5 wherein R₄ in both the compound of formula I and the compound of formula IV is nitro.

7. A process for preparing a compound of the formula



IV

wherein R_{19} is methyl or ethyl;

D is chloro;

A is $-CR_7$ or N;

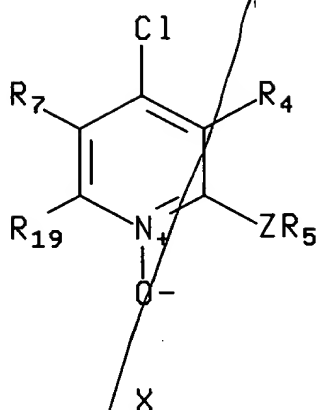
5 Z is NH, O, S, $-N(C_1-C_2 \text{ alkyl})$ or $-C(R_{13}R_{14})$, wherein R_{13} and R_{14} are each, independently, hydrogen, trifluoromethyl or methyl, or one of R_{13} and R_{14} is cyano and the other is hydrogen or methyl;

R_4 is hydrogen, C_1-C_4 alkyl, fluoro, chloro, bromo, iodo, C_1-C_4 alkoxy, trifluoromethoxy, $-CH_2OCH_3$, $-CH_2OCH_2CH_3$, $-CH_2CH_2OCH_3$, $-CH_2OF_3$, CF_3 , amino, nitro, $-NH(C_1-C_4 \text{ alkyl})$, $-N(CH_3)_2$, $-NHCOCH_3$, $-NHCONHCH_3$, $-SO_n(C_1-C_4 \text{ alkyl})$ wherein n is 0, 1 or 2, cyano, hydroxy, $-CO(C_1-C_4 \text{ alkyl})$, $-CHO$, cyano or $-COO(C_1-C_4 \text{ alkyl})$ wherein said C_1-C_4 alkyl may optionally contain one double or triple bond and may optionally be substituted with one substituent selected from hydroxy, amino, $-NHCOCH_3$, $-NH(C_1-C_2 \text{ alkyl})$, $-N(C_1-C_2 \text{ alkyl})_2$, $-COO(C_1-C_4 \text{ alkyl})$, $-CO(C_1-C_4 \text{ alkyl})$, C_1-C_3 alkoxy, C_1-C_3 thioalkyl, fluoro, chloro, cyano and nitro; and

15 R_5 is phenyl or pyridyl, and R_5 is substituted with from one to three substituents independently selected from fluoro, chloro, C_1-C_6 alkyl, and C_1-C_6 alkoxy, or with one substituent selected from hydroxy, iodo, bromo, formyl, cyano, nitro, trifluoromethyl, amino, $-(C_1-C_6 \text{ alkyl})O(C_1-C_6 \text{ alkyl})$, $-NHCH_3$, $-N(CH_3)_2$, $-COOH$, $-COO(C_1-C_4 \text{ alkyl})$, $-CO(C_1-C_4 \text{ alkyl})$, $-SO_2NH(C_1-C_4 \text{ alkyl})$, $-SO_2N(C_1-C_4 \text{ alkyl})(C_1-C_2 \text{ alkyl})$, $-SO_2NH_2$, $-NHSO_2(C_1-C_4 \text{ alkyl})$, $-S(C_1-C_6 \text{ alkyl})$ and $-SO_2(C_1-C_6 \text{ alkyl})$, and wherein the C_1-C_4 alkyl and C_1-C_6 alkyl moieties of the foregoing R_5 groups may optionally be substituted with one or two fluoro groups or with one substituent selected from hydroxy, amino, methylamino, dimethylamino and acetyl;

comprising reacting a compound of the formula

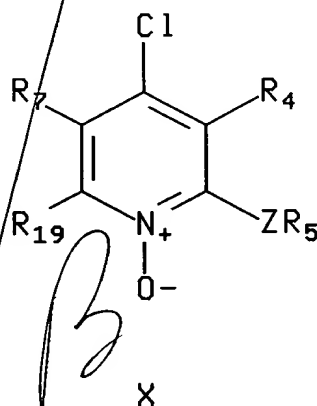
-139-



wherein R₁₉, R₄ and R₅ are defined as above and R₇ is hydrogen, methyl, fluoro, chloro, bromo, iodo, cyano, hydroxy, -O(C₁-C₄ alkyl), -C(O)(C₁-C₄ alkyl), -C(O)O(C₁-C₄ alkyl), -OCF₃, CF₃, -CH₂OH, -CH₂OCH₃ or -CH₂OCH₂CH₃, with phosphorus trichloride.

5

8. A process for preparing a compound of the formula



wherein R₁₉ is methyl or ethyl;

A is -CR₇ or N;

10 Z is O, S or -C(R₁₃R₁₄), wherein R₁₃ and R₁₄ are each, independently, hydrogen, trifluoromethyl or methyl, or one of R₁₃ and R₁₄ is cyano and the other is hydrogen or methyl;

15 R₄ is hydrogen, C₁-C₄ alkyl, fluoro, chloro, bromo, iodo, C₁-C₄ alkoxy, trifluoromethoxy, -CH₂OCH₃, -CH₂OCH₂CH₃, -CH₂CH₂OCH₃, -CH₂OF₃, CF₃, amino, nitro, -NH(C₁-C₄ alkyl), -N(CH₃)₂, -NHCOCH₃, -NHCONHCH₃, -SO_n(C₁-C₄ alkyl) wherein n is 0, 1 or 2, cyano, hydroxy, -CO(C₁-C₄ alkyl), -CHO, cyano or -COO(C₁-C₄ alkyl) wherein said C₁-C₄ alkyl may optionally contain one double or triple bond and may optionally be substituted with one substituent selected from hydroxy, amino, -NHCOCH₃, -NH(C₁-C₂ alkyl), -N(C₁-C₂ alkyl)₂, -COO(C₁-C₄ alkyl), -CO(C₁-C₄ alkyl), C₁-C₃ alkoxy, C₁-C₃ thioalkyl, fluoro, chloro, cyano and nitro; and

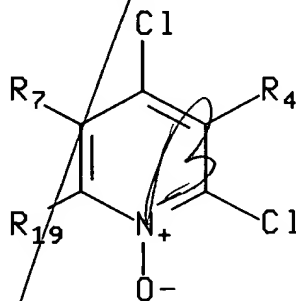
20 R₅ is phenyl or pyridyl, and R₅ is substituted with from one to three substituents independently selected from fluoro, chloro, C₁-C₆ alkyl, and C₁-C₆ alkoxy, or with one substituent selected from hydroxy, iodo, bromo, formyl, cyano, nitro, trifluoromethyl, amino, -(C₁-C₆

EXPRESS MAIL NO. EL16281544505

alkyl)O(C₁-C₆)alkyl, -NHCH₃, -N(CH₃)₂, -COOH, -COO(C₁-C₄ alkyl), -CO(C₁-C₄ alkyl), -SO₂NH(C₁-C₄ alkyl), -SO₂N(C₁-C₄ alkyl)(C₁-C₂ alkyl), -SO₂NH₂, -NHSO₂(C₁-C₄ alkyl), -S(C₁-C₆ alkyl) and -SO₂(C₁-C₆ alkyl), and wherein the C₁-C₄ alkyl and C₁-C₆ alkyl moieties of the foregoing R₅ groups may optionally be substituted with one or two fluoro groups or with one substituent

5 selected from hydroxy, amino, methylamino, dimethylamino and acetyl;

comprising reacting a compound of the formula



XI

wherein R₄, R₇ and R₁₉ are defined as above, with a compound of the formula R₅OH or R₅SH, wherein R₅ is defined as above, in the presence of a base.

10

add
A4